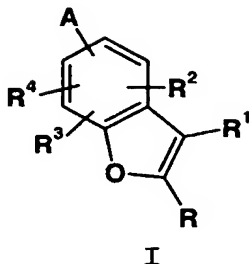


-143-

WE CLAIM:

1. The compounds of Formula I:



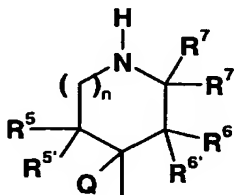
where:

R is hydrogen, halo, trifluoromethyl or C₁-C₆ alkyl;R¹ is hydrogen, halo, trifluoromethyl, phenyl, or C₁-C₆ alkyl;

R², R³, and R⁴ are independently hydrogen, halo, trifluoromethyl, cyano, C₁-C₄ alkoxy, C₁-C₄ alkoxycarbonyl, C₁-C₆ alkyl, C₁-C₆ alkyl substituted with a substituent selected from the group consisting of C₁-C₄ alkoxy and hydroxy, or -C(O)NHR⁹;

R⁹ is C₁-C₈ alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

A is attached at either the 4- or 7-position of the benzofuran nucleus and is an amine of formula:



(i)

-144-

n is 0, 1, or 2;

R⁵, R⁶, and R⁷ are independently hydrogen or C₁-C₄ alkyl;

Q is hydrogen;

5 R^{5'} is hydrogen or methyl, provided that R^{5'} may be methyl only when R⁵ is other than hydrogen, or R^{5'} and Q taken together with the carbon atoms to which they are attached form a double bond;

10 R^{6'} is hydrogen or methyl, provided that R^{6'} may be methyl only when R⁶ is other than hydrogen, or R^{6'} and Q taken together with the carbon atoms to which they are attached form a double bond;

R^{7'} is hydrogen or methyl, provided that R^{7'} may be methyl only when R⁷ is other than hydrogen;

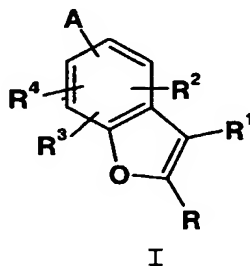
15 or pharmaceutically acceptable acid addition salts thereof subject to the following provisos:

a) when n is 1 or 2, at least one of R⁵, R⁶, and R⁷, must be other than hydrogen; and

20 b) no more than two of R⁵, R^{5'}, R⁶, R^{6'}, R⁷, and R^{7'} may be other than hydrogen.

2. A pharmaceutical formulation which comprises, in association with a pharmaceutically acceptable carrier, diluent or excipient, a compound of Formula I:

25



where:

R is hydrogen, halo, trifluoromethyl or C₁-C₆ alkyl;

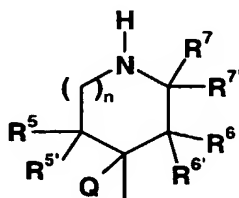
-145-

R¹ is hydrogen, halo, trifluoromethyl, phenyl, or C₁-C₆ alkyl;

R², R³, and R⁴ are independently hydrogen, halo, trifluoromethyl, cyano, C₁-C₄ alkoxy, C₁-C₄ alkoxycarbonyl, C₁-C₆ alkyl, C₁-C₆ alkyl substituted with a substituent selected from the group consisting of C₁-C₄ alkoxy and hydroxy, or -C(O)NHR⁹;

R⁹ is C₁-C₈ alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

A is attached at either the 4- or 7-position of the benzofuran nucleus and is an amine of formula:



(i)

n is 0, 1, or 2;

R⁵, R⁶, and R⁷ are independently hydrogen or C₁-C₄ alkyl;

Q is hydrogen;

R^{5'} is hydrogen or methyl, provided that R^{5'} may be methyl only when R⁵ is other than hydrogen, or R^{5'} and Q taken together with the carbon atoms to which they are attached form a double bond;

R^{6'} is hydrogen or methyl, provided that R^{6'} may be methyl only when R⁶ is other than hydrogen, or R^{6'} and Q taken together with the carbon atoms to which they are attached form a double bond;

-146-

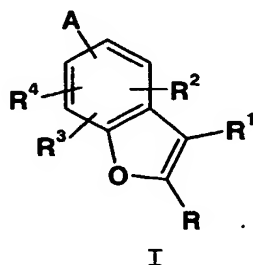
$R^{7'}$ is hydrogen or methyl, provided that $R^{7'}$ may be methyl only when R^7 is other than hydrogen;

or pharmaceutically acceptable acid addition salts thereof subject to the following provisos:

5 a) when n is 1 or 2, at least one of R^5 , R^6 , and R^7 , must be other than hydrogen; and

b) no more than two of R^5 , $R^{5'}$, R^6 , $R^{6'}$, R^7 , and $R^{7'}$ may be other than hydrogen.

10 3. A method for increasing activation of the 5-HT_{2C} receptor in mammals, comprising administering to a mammal in need of such activation a pharmaceutically effective amount of a compound of Formula I:



15

where:

R is hydrogen, halo, trifluoromethyl or C_1 - C_6 alkyl;

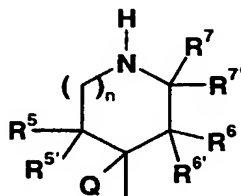
R^1 is hydrogen, halo, trifluoromethyl, phenyl, or C_1 - C_6 alkyl;

20 R^2 , R^3 , and R^4 are independently hydrogen, halo, trifluoromethyl, cyano, C_1 - C_4 alkoxy, C_1 - C_4 alkoxycarbonyl, C_1 - C_6 alkyl, C_1 - C_6 alkyl substituted with a substituent selected from the group consisting of C_1 - C_4 alkoxy and hydroxy, or $-C(O)NHR^9$;

25 R^9 is C_1 - C_8 alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

-147-

A is attached at either the 4- or 7-position of the benzofuran nucleus and is an amine of formula:



5

(i)

n is 0, 1, or 2;

R⁵, R⁶, and R⁷ are independently hydrogen or C₁-C₄ alkyl;

Q is hydrogen;

10

R^{5'} is hydrogen or methyl, provided that R^{5'} may be methyl only when R⁵ is other than hydrogen, or R^{5'} and Q taken together with the carbon atoms to which they are attached form a double bond;

15

R^{6'} is hydrogen or methyl, provided that R^{6'} may be methyl only when R⁶ is other than hydrogen, or R^{6'} and Q taken together with the carbon atoms to which they are attached form a double bond;

R^{7'} is hydrogen or methyl, provided that R^{7'} may be methyl only when R⁷ is other than hydrogen;

20

or pharmaceutically acceptable acid addition salts thereof subject to the following provisos:

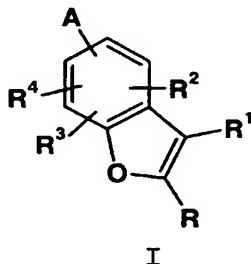
a) when n is 1 or 2, at least one of R⁵, R⁶, and R⁷, must be other than hydrogen; and

25

b) no more than two of R⁵, R^{5'}, R⁶, R^{6'}, R⁷, and R^{7'} may be other than hydrogen.

-148-

4. A method for the treatment of obesity in mammals, comprising administering to a mammal in need of such treatment an effective amount of a compound of Formula I:



where:

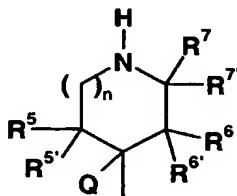
R is hydrogen, halo, trifluoromethyl or C₁-C₆ alkyl;

R¹ is hydrogen, halo, trifluoromethyl, phenyl, or C₁-C₆ alkyl;

R², R³, and R⁴ are independently hydrogen, halo, trifluoromethyl, cyano, C₁-C₄ alkoxy, C₁-C₄ alkoxy carbonyl, C₁-C₆ alkyl, C₁-C₆ alkyl substituted with a substituent selected from the group consisting of C₁-C₄ alkoxy and hydroxy, or -C(O)NHR⁹;

R⁹ is C₁-C₈ alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

A is attached at either the 4- or 7-position of the benzofuran nucleus and is an amine of formula:



(i)

-149-

n is 0, 1, or 2;

R⁵, R⁶, and R⁷ are independently hydrogen or C₁-C₄ alkyl;

Q is hydrogen;

5 R^{5'} is hydrogen or methyl, provided that R^{5'} may be methyl only when R⁵ is other than hydrogen, or R^{5'} and Q taken together with the carbon atoms to which they are attached form a double bond;

10 R^{6'} is hydrogen or methyl, provided that R^{6'} may be methyl only when R⁶ is other than hydrogen, or R^{6'} and Q taken together with the carbon atoms to which they are attached form a double bond;

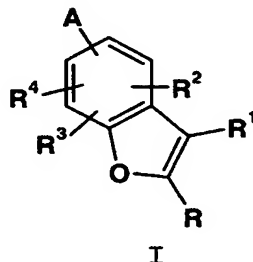
R^{7'} is hydrogen or methyl, provided that R^{7'} may be methyl only when R⁷ is other than hydrogen;

15 or pharmaceutically acceptable acid addition salts thereof subject to the following provisos:

a) when n is 1 or 2, at least one of R⁵, R⁶, and R⁷, must be other than hydrogen; and

20 b) no more than two of R⁵, R^{5'}, R⁶, R^{6'}, R⁷, and R^{7'} may be other than hydrogen.

25 5. A method for the treatment of depression in mammals, comprising administering to a mammal in need of such treatment an effective amount of a compound of Formula I:



where:

-150-

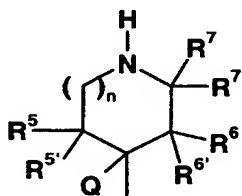
R is hydrogen, halo, trifluoromethyl or C₁-C₆ alkyl;

R¹ is hydrogen, halo, trifluoromethyl, phenyl, or C₁-C₆ alkyl;

R², R³, and R⁴ are independently hydrogen, halo, trifluoromethyl, cyano, C₁-C₄ alkoxy, C₁-C₄ alkoxy carbonyl, C₁-C₆ alkyl, C₁-C₆ alkyl substituted with a substituent selected from the group consisting of C₁-C₄ alkoxy and hydroxy, or -C(O)NHR⁹;

R⁹ is C₁-C₈ alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of phenyl and pyridyl;

A is attached at either the 4- or 7-position of the benzofuran nucleus and is an amine of formula:



15

(i)

n is 0, 1, or 2;

R⁵, R⁶, and R⁷ are independently hydrogen or C₁-C₄ alkyl;

20 Q is hydrogen;

R^{5'} is hydrogen or methyl, provided that R^{5'} may be methyl only when R⁵ is other than hydrogen, or R^{5'} and Q taken together with the carbon atoms to which they are attached form a double bond;

25 R^{6'} is hydrogen or methyl, provided that R^{6'} may be methyl only when R⁶ is other than hydrogen, or R^{6'} and Q taken together with the carbon atoms to which they are attached form a double bond;

-151-

$R^{7'}$ is hydrogen or methyl, provided that $R^{7'}$ may be methyl only when R^7 is other than hydrogen;

or pharmaceutically acceptable acid addition salts thereof subject to the following provisos:

5 a) when n is 1 or 2, at least one of R^5 , R^6 , and R^7 , must be other than hydrogen; and

b) no more than two of R^5 , $R^{5'}$, R^6 , $R^{6'}$, R^7 , and $R^{7'}$ may be other than hydrogen.

6. A method of any of Claims 3, 4, or 5 where the mammal is human.

to
A2

Aclef
A3